L1 ANSWER 10 OF 10 WPIX COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 1998-251866 [23] WPIX
CROSS REFERENCE: 1998-241420; 1998-251865; 1998-251864
DOC. NO. CPI: C1998-078542 [23]
TITLE: New 1-substituted-(substituted (hetero)aryl)-fused
pyrazole compounds - useful as cardiovascular agents,
(vasodilators) for treatment of hypertension, cardiac
insufficiency, angina, arrhythmias, ischaemia, etc.
DERWENT CLASS: B02
INVENTOR: ARLT D; DEMBOWSKY K; FEURER A; FUERSTNER C; FURSTNER C;
HUETTER J; HUTTER J; JAETSCH T; KAST R; NIEWOEHNER U;
NIEWOHNER U; PERZBORN E; ROBYR C; STASCH J; STRAUB A
PATENT ASSIGNEE: (FARB-C) BAYER AG; (FARB-C) BAYER HEALTHCARE AG
COUNTRY COUNT: 79

PATENT INFO ABBR.:

| PATENT NO | KIND | DATE | WEEK | LA | PG | MAIN IPC |
|---|---|---|---|---|---|---|
| DE 19642323 | A1 | 19980416 | (199823)* | DE | 14[0] | |
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| WO 9816507 | A2 | 19980423 | (199823) | DE | | |
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| AU 9749430 | A | 19980511 | (199837) | EN | | |
| NO 9901732 | A | 19990604 | (199932) | NO | | |
| CZ 9901309 | A3 | 19990714 | (199933) | CS | | |
| EP 934311 | A2 | 19990811 | (199936) | DE | | |
| SK 9900487 | A3 | 20000214 | (200020) | SK | | |
| CN 1241188 | A | 20000112 | (200022) | ZH | | |
| BR 9712523 | A | 20000509 | (200033) | PT | | |
| US 6166027 | A | 20001226 | (200103) | EN | | |
| MX 9903479 | A1 | 20000101 | (200115) | ES | | |
| JP 2001505550 | W | 20010424 | (200130) | JA | 254 | |
| HU 2000001115 | A2 | 20010428 | (200131) | HU | | |
| AU 736303 | B | 20010726 | (200149) | EN | | |
| NZ 335092 | A | 20020201 | (200214) | EN | | |
| US 6387940 | B1 | 20020514 | (200239) | EN | | |
| US 6410740 | B1 | 20020625 | (200246) | EN | | |
| US 6414009 | B1 | 20020702 | (200248) | EN | | |
| US 6462068 | B1 | 20021008 | (200269) | EN | | |
| TW 504513 | A | 20021001 | (200337) | ZH | | |
| MX 207802 | B | 20020514 | (200365) | ES | | |
| EP 1686127 | A1 | 20060802 | (200650) | DE | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
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     AU 9749430 A                          AU 1997-49430 19971002
     AU 736303 B                           AU 1997-49430 19971002
     BR 9712523 A                          BR 1997-12523 19971002
     CN 1241188 A                          CN 1997-180638 19971002
     EP 934311 A2                          EP 1997-912102 19971002
     NZ 335092 A                           NZ 1997-335092 19971002
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     NO 9901732 A                          WO 1997-EP5432 19971002
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     EP 934311 A2                          WO 1997-EP5432 19971002
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     CZ 9901309 A3                         CZ 1999-1309 19971002
     SK 9900487 A3                         SK 1999-487 19971002
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     US 6387940 B1 Div Ex                  US 1999-284172 19990409
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     NO 9901732 A                          NO 1999-1732 19990413
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     US 6462068 B1                         US 2000-644305 20000823
     US 6410740 B1                         US 2000-645834 20000825
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     EP 1686127 A1 Div Ex                  EP 1997-912102 19980423
     EP 1686127 A1                         EP 2005-22495 19971002

FILING DETAILS:

     PATENT NO       KIND                  PATENT NO
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     AU 736303       B     Previous Publ   AU 9749430      A
     US 6387940      B1    Div ex          US 6166027      A
     US 6410740      B1    Div ex          US 6166027      A
     US 6414009      B1    Div ex          US 6166027      A
     US 6462068      B1    Div ex          US 6166027      A
     AU 9749430      A     Based on        WO 9816507      A
     CZ 9901309      A3    Based on        WO 9816507      A
     EP 934311       A2    Based on        WO 9816507      A
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| BR 9712523 | A | Based on | WO 9816507 | A |
| US 6166027 | A | Based on | WO 9816507 | A |
| JP 2001505550 | W | Based on | WO 9816507 | A |
| HU 2000001115 | A2 | Based on | WO 9816507 | A |
| AU 736303 | B | Based on | WO 9816507 | A |
| NZ 335092 | A | Based on | WO 9816507 | A |
| EP 1686127 | A1 | Div ex | EP 934311 | A |

PRIORITY APPLN. INFO: DE 1996-19642323 19961014
DE 1996-19642319 19961014
DE 1996-19642320 19961014
DE 1996-19642322 19961014
WO 1997-EP5432 19971002

AN 1998-251866 [23] WPIX
CR 1998-241420; 1998-251865; 1998-251864
AB DE 19642323 A1 UPAB: 20060114
1-(Benzyl or heterocyclylmethyl)-3-(substituted (hetero)aromatic)-fused
pyrazole compounds of formula (I) are new. X = O, S NH or CH=CH, and the
X-containing ring is optionally substituted by R14; R1 = phenyl,
2-thienyl, 2-pyrrolyl or 2-furanyl, all substituted by R4; R4 = CHOHCH3,
2-6C alkyl (substituted by OH or 1-4C alkoxy), CHO, 1-6C acyl, NO2, 1-6C
alkyl (optionally substituted by NH2, N3 or OR5), CH2OR13, or a group of
formula (a) or (b); A = phenyl (optionally mono-, di- or trisubstituted by
alkyl, alkoxy, alkoxycarbonyl, (each with up to 6C), COOH, NO2, CN, CF3,
N3 or halo; R5 = 1-5C acyl, SiR6R7R8, CH2OR10 or a group of formula (c);
R6-R8 = 6-10C aryl, 1-6C alkyl; R9, R13 = H or 1-3C alkyl; R10-R12 = H or
1-4C alkyl; R14 = OH, halo, 1-4C alkoxy or 1-4C alkyl; a = 1-3: provided
that when R4 = CH2OR13, then A = substituted phenyl where the substituents
include alkoxycarbonyl, COOH, NO2, CN, CF3 or N3.
USE - (I), and combinations of (I) with organic nitrate compounds
and/or NO donors are used in therapeutics; (I) are used to treat
cardiovascular disease (claimed). (I) relax blood vessels, inhibit
thrombocyte aggregation, reduce blood pressure and increase coronary blood
flow by directly stimulating soluble guanylate cyclase and increasing
intracellular cGMP levels. (I) increase the effects of substances that
increase cGMP levels, such as endothelium-derived relaxing factor,
NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine
derivatives. They can be used in human and veterinary medicine for the
treatment of hypertension, cardiac insufficiency, angina, arrhythmias,

thromboembolic disorders, ischaemias, (myocardial infarction and stroke),
peripheral perfusion disorders, arteriosclerosis, prostate hypertrophy,
erectile dysfunction and incontinence and for the prevention of restenosis
after angioplasty. - Daily dose is 0.5-500 mg/kg, preferably 5-100 mg/kg.

Member(0002)
ABEQ WO 1998016507 A2 UPAB 20060114
1-(Benzyl or heterocyclylmethyl)-3-(substituted (hetero)aromatic)-fused
pyrazole compounds of formula (I) are new. X = O, S NH or CH=CH, and the
X-containing ring is optionally substituted by R14; R1 = phenyl,
2-thienyl, 2-pyrrolyl or 2-furanyl, all substituted by R4; R4 = CHOHCH3,
2-6C alkyl (substituted by OH or 1-4C alkoxy), CHO, 1-6C acyl, NO2, 1-6C
alkyl (optionally substituted by NH2, N3 or OR5), CH2OR13, or a group of
formula (a) or (b); A = phenyl (optionally mono-, di- or trisubstituted by
alkyl, alkoxy, alkoxycarbonyl, (each with up to 6C), COOH, NO2, CN, CF3,
N3 or halo; R5 = 1-5C acyl, SiR6R7R8, CH2OR10 or a group of formula (c);
R6-R8 = 6-10C aryl, 1-6C alkyl; R9, R13 = H or 1-3C alkyl; R10-R12 = H or
1-4C alkyl; R14 = OH, halo, 1-4C alkoxy or 1-4C alkyl; a = 1-3: provided
that when R4 = CH2OR13, then A = substituted phenyl where the substituents
include alkoxycarbonyl, COOH, NO2, CN, CF3 or N3.
USE - (I), and combinations of (I) with organic nitrate compounds
and/or NO donors are used in therapeutics; (I) are used to treat
cardiovascular disease (claimed). (I) relax blood vessels, inhibit
thrombocyte aggregation, reduce blood pressure and increase coronary blood
flow by directly stimulating soluble guanylate cyclase and increasing
intracellular cGMP levels. (I) increase the effects of substances that
increase cGMP levels, such as endothelium-derived relaxing factor,
NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine
derivatives. They can be used in human and veterinary medicine for the
treatment of hypertension, cardiac insufficiency, angina, arrhythmias,
thromboembolic disorders, ischaemias, (myocardial infarction and stroke),
peripheral perfusion disorders, arteriosclerosis, prostate hypertrophy,
erectile dysfunction and incontinence and for the prevention of restenosis

after angioplasty. - Daily dose is 0.5-500 mg/kg, preferably 5-100 mg/kg.

Member(0006)
ABEQ EP 934311 A2 UPAB 20060114
1-(Benzyl or heterocyclylmethyl)-3-(substituted (hetero)aromatic)-fused
pyrazole compounds of formula (I) are new. X = O, S NH or CH=CH, and the
X-containing ring is optionally substituted by R14; R1 = phenyl,
2-thienyl, 2-pyrrolyl or 2-furanyl, all substituted by R4; R4 = CHOHCH3,
2-6C alkyl (substituted by OH or 1-4C alkoxy), CHO, 1-6C acyl, NO2, 1-6C
alkyl (optionally substituted by NH2, N3 or OR5), CH2OR13, or a group of
formula (a) or (b); A = phenyl (optionally mono-, di- or trisubstituted by
alkyl, alkoxy, alkoxycarbonyl, (each with up to 6C), COOH, NO2, CN, CF3,
N3 or halo; R5 = 1-5C acyl, SiR6R7R8, CH2OR10 or a group of formula (c);
R6-R8 = 6-10C aryl, 1-6C alkyl; R9, R13 = H or 1-3C alkyl; R10-R12 = H or
1-4C alkyl; R14 = OH, halo, 1-4C alkoxy or 1-4C alkyl; a = 1-3: provided
that when R4 = CH2OR13, then A = substituted phenyl where the substituents
include alkoxycarbonyl, COOH, NO2, CN, CF3 or N3.
USE - (I), and combinations of (I) with organic nitrate compounds
and/or NO donors are used in therapeutics; (I) are used to treat
cardiovascular disease (claimed). (I) relax blood vessels, inhibit
thrombocyte aggregation, reduce blood pressure and increase coronary blood
flow by directly stimulating soluble guanylate cyclase and increasing
intracellular cGMP levels. (I) increase the effects of substances that
increase cGMP levels, such as endothelium-derived relaxing factor,
NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine
derivatives. They can be used in human and veterinary medicine for the
treatment of hypertension, cardiac insufficiency, angina, arrhythmias,
thromboembolic disorders, ischaemias, (myocardial infarction and stroke),
peripheral perfusion disorders, arteriosclerosis, prostate hypertrophy,
erectile dysfunction and incontinence and for the prevention of restenosis
after angioplasty. - Daily dose is 0.5-500 mg/kg, preferably 5-100 mg/kg.

Member(0008)
ABEQ CN 1241188 A UPAB 20060114

1-(Benzyl or heterocyclylmethyl)-3-(substituted (hetero)aromatic)-fused
pyrazole compounds of formula (I) are new. X = O, S NH or CH=CH, and the
X-containing ring is optionally substituted by R14; R1 = phenyl,
2-thienyl, 2-pyrrolyl or 2-furanyl, all substituted by R4; R4 = CHOHCH3,
2-6C alkyl (substituted by OH or 1-4C alkoxy), CHO, 1-6C acyl, NO2, 1-6C
alkyl (optionally substituted by NH2, N3 or OR5), CH2OR13, or a group of
formula (a) or (b); A = phenyl (optionally mono-, di- or trisubstituted by
alkyl, alkoxy, alkoxycarbonyl, (each with up to 6C), COOH, NO2, CN, CF3,
N3 or halo; R5 = 1-5C acyl, SiR6R7R8, CH2OR10 or a group of formula (c);
R6-R8 = 6-10C aryl, 1-6C alkyl; R9, R13 = H or 1-3C alkyl; R10-R12 = H or
1-4C alkyl; R14 = OH, halo, 1-4C alkoxy or 1-4C alkyl; a = 1-3: provided
that when R4 = CH2OR13, then A = substituted phenyl where the substituents
include alkoxycarbonyl, COOH, NO2, CN, CF3 or N3.

USE - (I), and combinations of (I) with organic nitrate compounds
and/or NO donors are used in therapeutics; (I) are used to treat
cardiovascular disease (claimed). (I) relax blood vessels, inhibit
thrombocyte aggregation, reduce blood pressure and increase coronary blood
flow by directly stimulating soluble guanylate cyclase and increasing
intracellular cGMP levels. (I) increase the effects of substances that
increase cGMP levels, such as endothelium-derived relaxing factor,
NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine
derivatives. They can be used in human and veterinary medicine for the
treatment of hypertension, cardiac insufficiency, angina, arrhythmias,
thromboembolic disorders, ischaemias, (myocardial infarction and stroke),
peripheral perfusion disorders, arteriosclerosis, prostate hypertrophy,
erectile dysfunction and incontinence and for the prevention of restenosis
after angioplasty. - Daily dose is 0.5-500 mg/kg, preferably 5-100 mg/kg.

Member(0010)
ABEQ US 6166027 A UPAB 20060114
1-(Benzyl or heterocyclylmethyl)-3-(substituted (hetero)aromatic)-fused
pyrazole compounds of formula (I) are new. X = O, S NH or CH=CH, and the
X-containing ring is optionally substituted by R14; R1 = phenyl,

2-thienyl, 2-pyrrolyl or 2-furanyl, all substituted by R4; R4 = CHOHCH3,
2-6C alkyl (substituted by OH or 1-4C alkoxy), CHO, 1-6C acyl, NO2, 1-6C
alkyl (optionally substituted by NH2, N3 or OR5), CH2OR13, or a group of
formula (a) or (b); A = phenyl (optionally mono-, di- or trisubstituted by
alkyl, alkoxy, alkoxycarbonyl, (each with up to 6C), COOH, NO2, CN, CF3,
N3 or halo; R5 = 1-5C acyl, SiR6R7R8, CH2OR10 or a group of formula (c);
R6-R8 = 6-10C aryl, 1-6C alkyl; R9, R13 = H or 1-3C alkyl; R10-R12 = H or
1-4C alkyl; R14 = OH, halo, 1-4C alkoxy or 1-4C alkyl; a = 1-3: provided
that when R4 = CH2OR13, then A = substituted phenyl where the substituents
include alkoxycarbonyl, COOH, NO2, CN, CF3 or N3.

USE - (I), and combinations of (I) with organic nitrate compounds
and/or NO donors are used in therapeutics; (I) are used to treat
cardiovascular disease (claimed). (I) relax blood vessels, inhibit
thrombocyte aggregation, reduce blood pressure and increase coronary blood
flow by directly stimulating soluble guanylate cyclase and increasing
intracellular cGMP levels. (I) increase the effects of substances that
increase cGMP levels, such as endothelium-derived relaxing factor,
NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine
derivatives. They can be used in human and veterinary medicine for the
treatment of hypertension, cardiac insufficiency, angina, arrhythmias,
thromboembolic disorders, ischaemias, (myocardial infarction and stroke),
peripheral perfusion disorders, arteriosclerosis, prostate hypertrophy,
erectile dysfunction and incontinence and for the prevention of restenosis
after angioplasty. - Daily dose is 0.5-500 mg/kg, preferably 5-100 mg/kg.

Member(0012)
ABEQ JP 2001505550 W UPAB 20060114
1-(Benzyl or heterocyclylmethyl)-3-(substituted (hetero)aromatic)-fused
pyrazole compounds of formula (I) are new. X = O, S NH or CH=CH, and the
X-containing ring is optionally substituted by R14; R1 = phenyl,
2-thienyl, 2-pyrrolyl or 2-furanyl, all substituted by R4; R4 = CHOHCH3,
2-6C alkyl (substituted by OH or 1-4C alkoxy), CHO, 1-6C acyl, NO2, 1-6C
alkyl (optionally substituted by NH2, N3 or OR5), CH2OR13, or a group of

formula (a) or (b); A = phenyl (optionally mono-, di- or trisubstituted by
alkyl, alkoxy, alkoxycarbonyl, (each with up to 6C), COOH, NO2, CN, CF3,
N3 or halo; R5 = 1-5C acyl, SiR6R7R8, CH2OR10 or a group of formula (c);
R6-R8 = 6-10C aryl, 1-6C alkyl; R9, R13 = H or 1-3C alkyl; R10-R12 = H or
1-4C alkyl; R14 = OH, halo, 1-4C alkoxy or 1-4C alkyl; a = 1-3: provided
that when R4 = CH2OR13, then A = substituted phenyl where the substituents
include alkoxycarbonyl, COOH, NO2, CN, CF3 or N3.

USE - (I), and combinations of (I) with organic nitrate compounds
and/or NO donors are used in therapeutics; (I) are used to treat
cardiovascular disease (claimed). (I) relax blood vessels, inhibit
thrombocyte aggregation, reduce blood pressure and increase coronary blood
flow by directly stimulating soluble guanylate cyclase and increasing
intracellular cGMP levels. (I) increase the effects of substances that
increase cGMP levels, such as endothelium-derived relaxing factor,
NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine
derivatives. They can be used in human and veterinary medicine for the
treatment of hypertension, cardiac insufficiency, angina, arrhythmias,
thromboembolic disorders, ischaemias, (myocardial infarction and stroke),
peripheral perfusion disorders, arteriosclerosis, prostate hypertrophy,
erectile dysfunction and incontinence and for the prevention of restenosis
after angioplasty. - Daily dose is 0.5-500 mg/kg, preferably 5-100 mg/kg.